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SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: SAMEENA AHMED Examiner #: 71209 Date: 5/10/02
Art Unit: 1626 Phone Number 308-3880 Serial Number: 10/054,462
Mail Box and Bldg/Room Location: 3B19 Results Format Preferred (circle): ~~PAPER~~ DISK E-MAIL
3D02

If more than one search is submitted, please prioritize searches in order of need.

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Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: PROCESS FOR PREPARING HETEROCYCLIC INDENE ANALOGS

Inventors (please provide full names): MICHELANGELO SCALONE;
THOMAS ALBERT ZEIBIG

Earliest Priority Filing Date: 1/25/01

**For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

A process for preparing: see p. 32 diagram

1/25/01

Mary Hale – Supervisor, Info. Branch
STIC – Biotech/Chem. Library
CM-1 Room E01
703-308-4258

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STAFF USE ONLY

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Date Searcher Picked Up: _____
Date Completed: 5/20
Searcher Prep & Review Time: _____
Clerical Prep Time: _____
Online Time: 40

Type of Search

NA Sequence (#) _____
AA Sequence (#) _____
Structure (#) 1
Bibliographic _____
Litigation _____
Fulltext _____
Patent Family _____
Other _____

Vendors and cost where applicable

STN 3-1...
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Questel/Orbit _____
Dr.Link _____
Lexis/Nexis _____
Sequence Systems _____
WWW/Internet _____
Other (specify) _____

PTO-1590 (8-01)

D. Ahmed
10/054462

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L1                  STR
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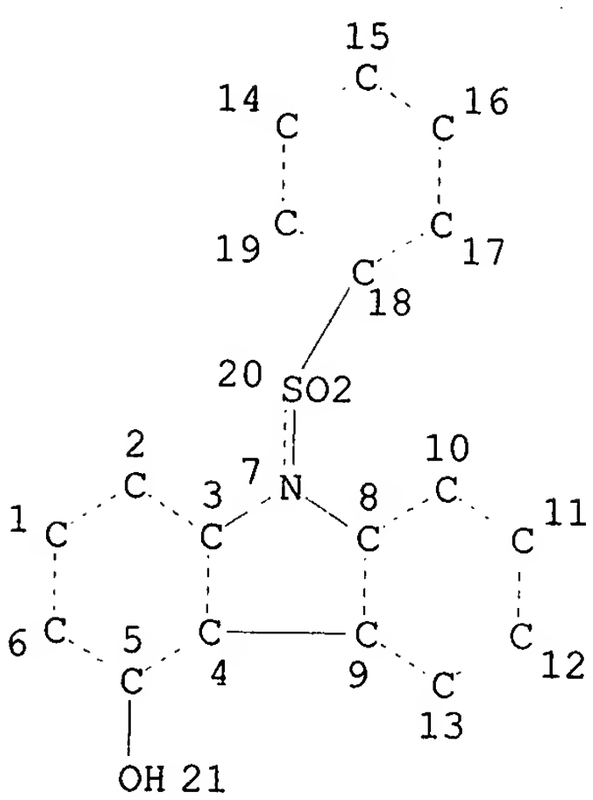


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NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  21

STEREO ATTRIBUTES: NONE
L3             9 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED    225 ITERATIONS                          9 ANSWERS
SEARCH TIME: 00.00.01


L3   ANSWER 1 OF 9  REGISTRY  COPYRIGHT 2002 ACS
RN   159626-33-2  REGISTRY
CN   5H-Benzo[b]carbazol-11-ol, 8,9,10-trimethoxy-5-(phenylsulfonyl)- (9CI)
     (CA INDEX NAME)
FS   3D CONCORD
MF   C25 H21 N O6 S
SR   CA
LC   STN Files:   CA, CAPLUS, CASREACT
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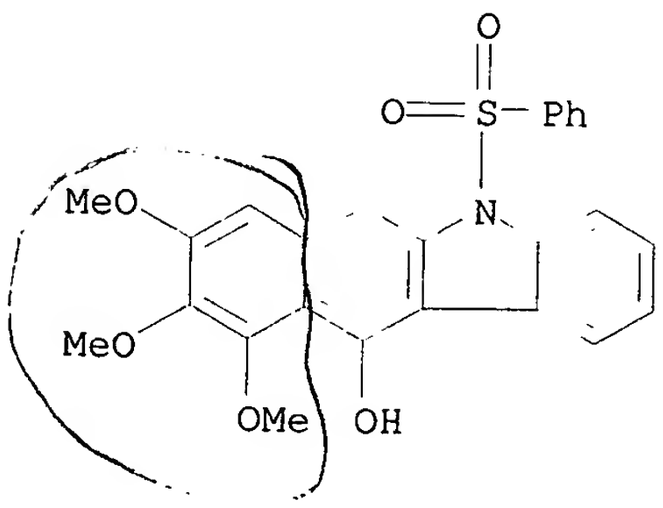

Searched by: Mary Hale 308-4258 CM-1 12D16

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 122:31186 An Efficient Synthesis of Heterocyclic Analogs of 1-Arylnaphthalene Lignans. Kuroda, Tooru; Takahashi, Masami; Ogiku, Tsuyoshi; Ohmizu, Hiroshi; Nishitani, Takashi; Kondo, Kazuhiko; Iwasaki, Tameo (Department of Synthetic Chemistry, Tanabe Seiyaku Co. Ltd., Yodogawa, 532, Japan). J. Org. Chem., 59(24), 7353-7 (English) 1994. CODEN: JOCEAH. ISSN: 0022-3263.

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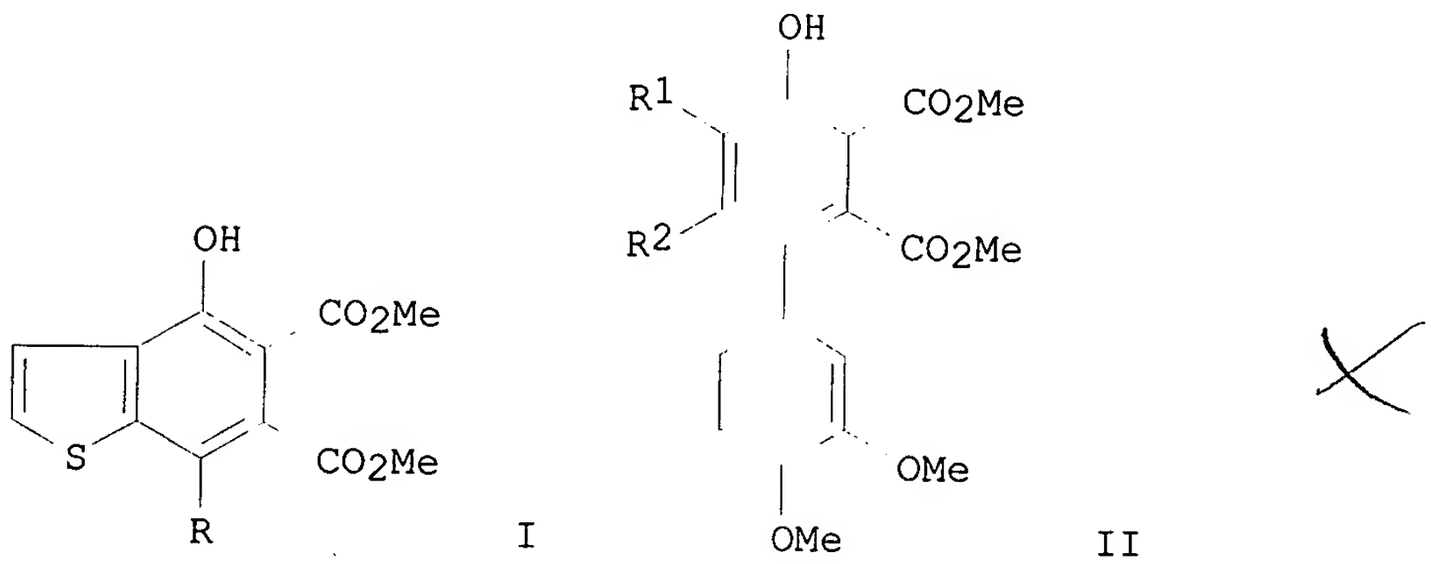
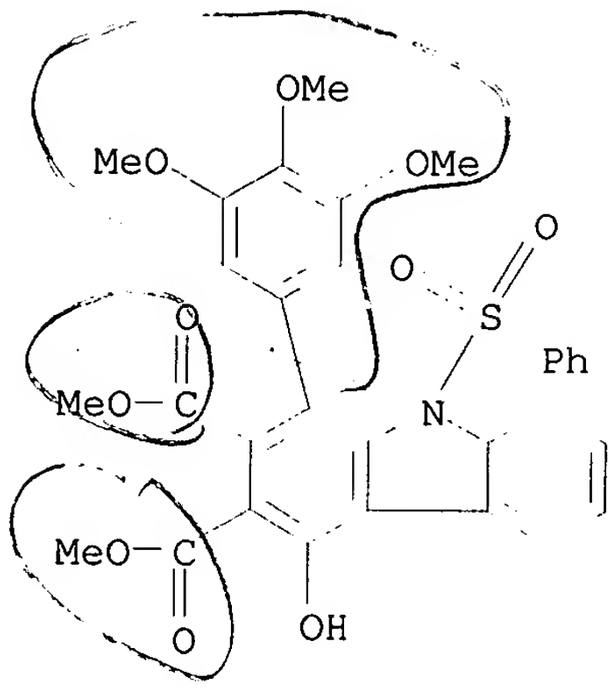


AB The heterocyclic analogs I [R = 3,4-(MeO)2C6H3, 4-MeC6H4, 3,4-Cl2C6H3, 3,4-methylenedioxyphenyl, Ph, 3-thienyl] and II [R1R2 = SCH:CH, CH:CHCH:N] of 1-arylnaphthalene lignans were synthesized by Diels-Alder reactions of heterocyclic .alpha.-acetoxybenzyl aldehydes with di-Me acetylenedicarboxylate. A pathway for formation of I and II through the intermediacy of heteroarom. isobenzofurans derived from the acetoxy aldehydes is discussed.

L3 ANSWER 2 OF 9 REGISTRY COPYRIGHT 2002 ACS
RN 159626-32-1 REGISTRY
CN 9H-Carbazole-2,3-dicarboxylic acid, 4-hydroxy-9-(phenylsulfonyl)-1-(3,4,5-trimethoxyphenyl)-, dimethyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C31 H27 N O10 S
SR CA
LC STN Files: CA, CAPLUS, CASREACT

Searched by: Mary Hale 308-4258 CM-1 12D16



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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REFERENCE 1: 122:31186 An Efficient Synthesis of Heterocyclic Analogs of 1-Arylnaphthalene Lignans. Kuroda, Tooru; Takahashi, Masami; Ogiku, Tsuyoshi; Ohmizu, Hiroshi; Nishitani, Takashi; Kondo, Kazuhiko; Iwasaki, Tameo (Department of Synthetic Chemistry, Tanabe Seiyaku Co. Ltd., Yodogawa, 532, Japan). J. Org. Chem., 59(24), 7353-7 (English) 1994. CODEN: JOCEAH. ISSN: 0022-3263.

Duplicates

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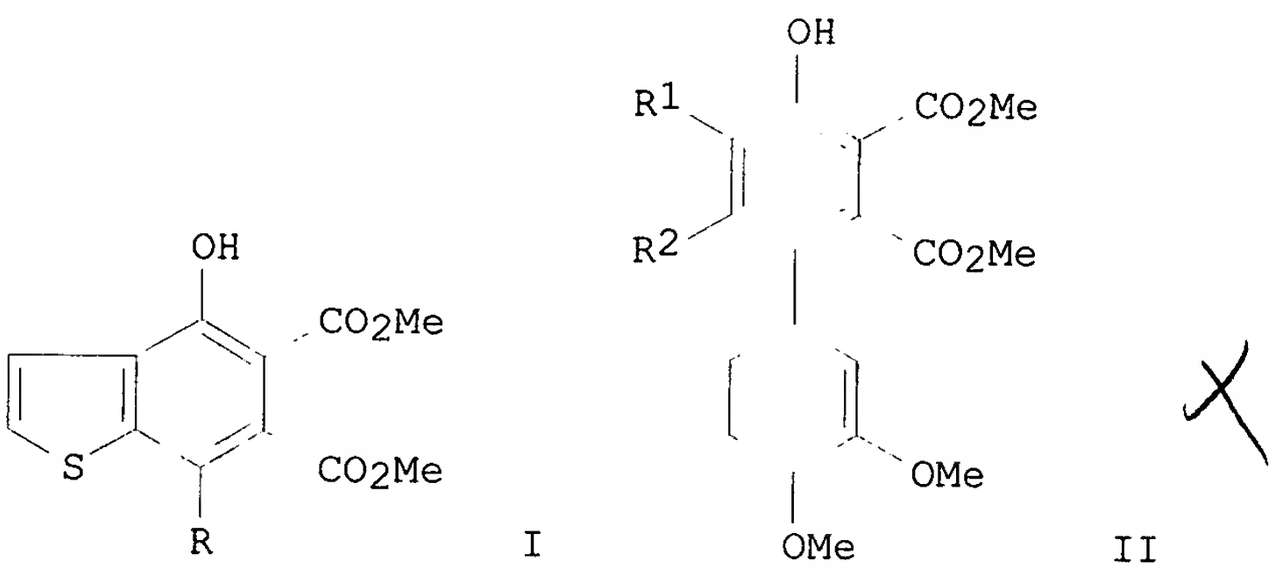
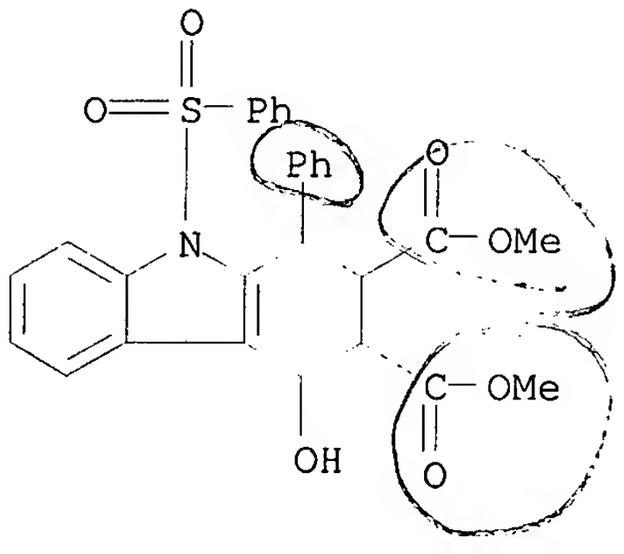


AB The heterocyclic analogs I [R = 3,4-(MeO)2C6H3, 4-MeC6H4, 3,4-Cl2C6H3, 3,4-methylenedioxyphenyl, Ph, 3-thienyl] and II [R1R2 = SCH:CH, CH:CHCH:N] of 1-arylnaphthalene lignans were synthesized by Diels-Alder reactions of heterocyclic .alpha.-acetoxybenzyl aldehydes with di-Me acetylenedicarboxylate. A pathway for formation of I and II through the intermediacy of heteroarom. isobenzofurans derived from the acetoxy aldehydes is discussed.

L3 ANSWER 3 OF 9 REGISTRY COPYRIGHT 2002 ACS
RN 159626-31-0 REGISTRY
CN 9H-Carbazole-2,3-dicarboxylic acid, 4-hydroxy-1-phenyl-9-(phenylsulfonyl)-, dimethyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C28 H21 N O7 S

Searched by: Mary Hale 308-4258 CM-1 12D16

SR CA
LC STN Files: CA, CAPLUS, CASREACT



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 122:31186 An Efficient Synthesis of Heterocyclic Analogs of 1-Arylnaphthalene Lignans. Kuroda, Tooru; Takahashi, Masami; Ogiku, Tsuyoshi; Ohmizu, Hiroshi; Nishitani, Takashi; Kondo, Kazuhiko; Iwasaki, Tameo (Department of Synthetic Chemistry, Tanabe Seiyaku Co. Ltd., Yodogawa, 532, Japan). J. Org. Chem., 59(24), 7353-7 (English) 1994. CODEN: JOCEAH. ISSN: 0022-3263.

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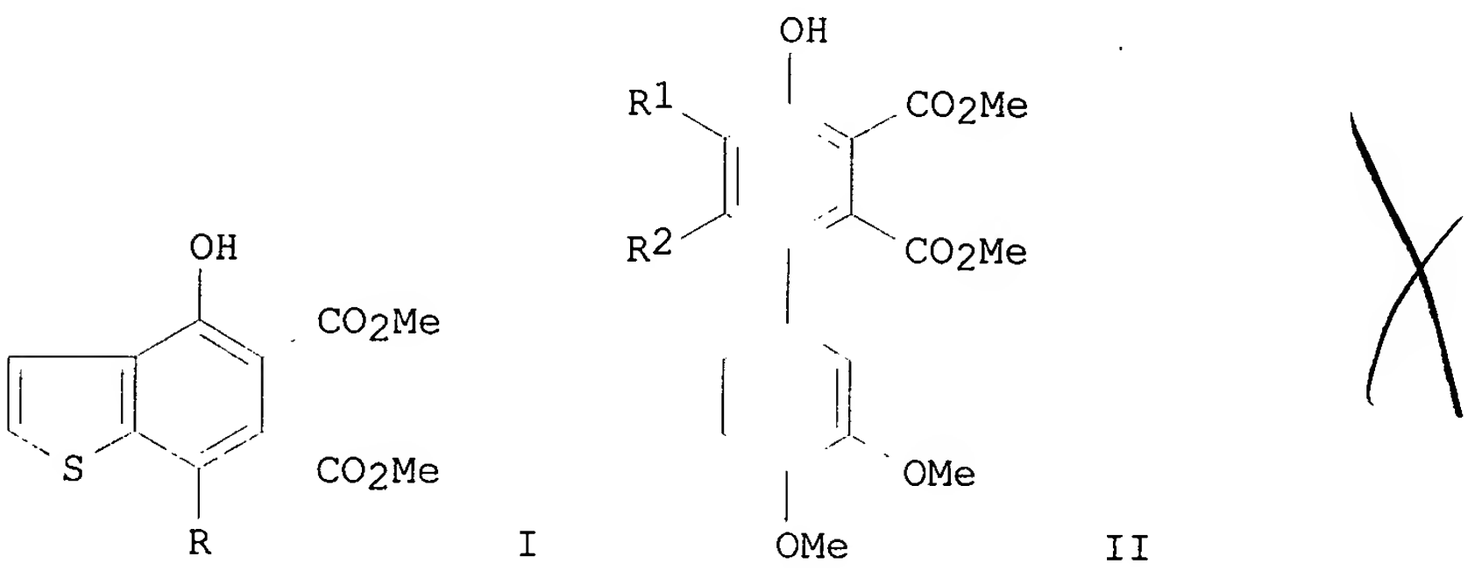
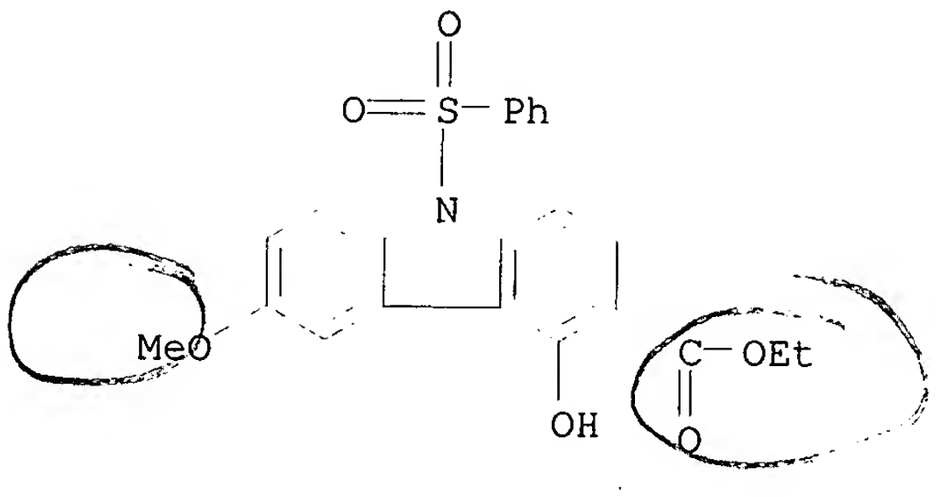


AB The heterocyclic analogs I [R = 3,4-(MeO)2C6H3, 4-MeC6H4, 3,4-Cl2C6H3, 3,4-methylenedioxyphenyl, Ph, 3-thienyl] and II [R1R2 = SCH:CH, CH:CHCH:N] of 1-arylnaphthalene lignans were synthesized by Diels-Alder reactions of heterocyclic .alpha.-acetoxybenzyl aldehydes with di-Me acetylenedicarboxylate. A pathway for formation of I and II through the intermediacy of heteroarom. isobenzofurans derived from the acetoxy aldehydes is discussed.

L3 ANSWER 4 OF 9 REGISTRY COPYRIGHT 2002 ACS
RN 147848-05-3 REGISTRY
CN 9H-Carbazole-3-carboxylic acid, 4-hydroxy-6-methoxy-9-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C22 H19 N O6 S

Searched by: Mary Hale 308-4258 CM-1 12D16

SR CA
LC STN Files: CA, CAPLUS, CHEMINFORMRX



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 118:254685 One pot synthesis of 4-hydroxy-3-substituted carbazoles via sulfoxide stabilized carbanion. Mohanakrishnan, Arasambattu K.; Srinivasan, Panayencheri C. (Dep. Org. Chem., Univ. Madras, Madras, 600 025, India). Tetrahedron Lett., 34(8), 1343-6 (English) 1993. CODEN: TELEAY. ISSN: 0040-4039.

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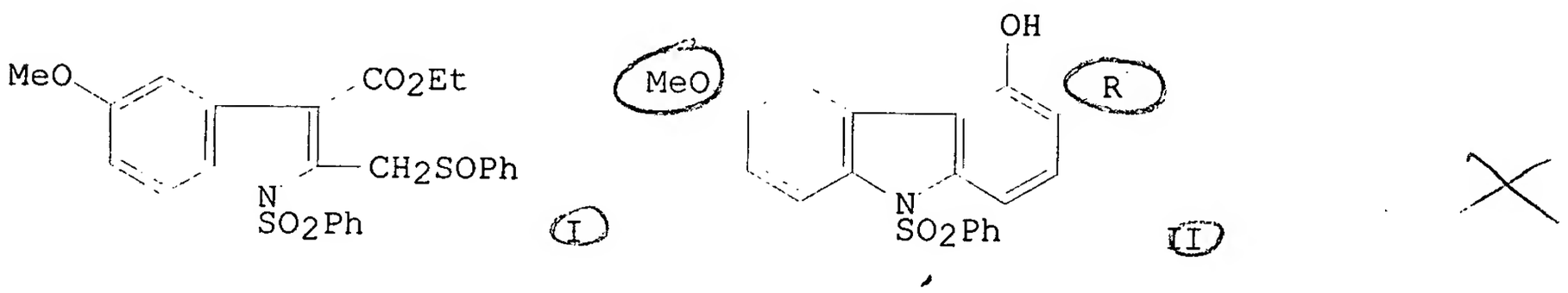
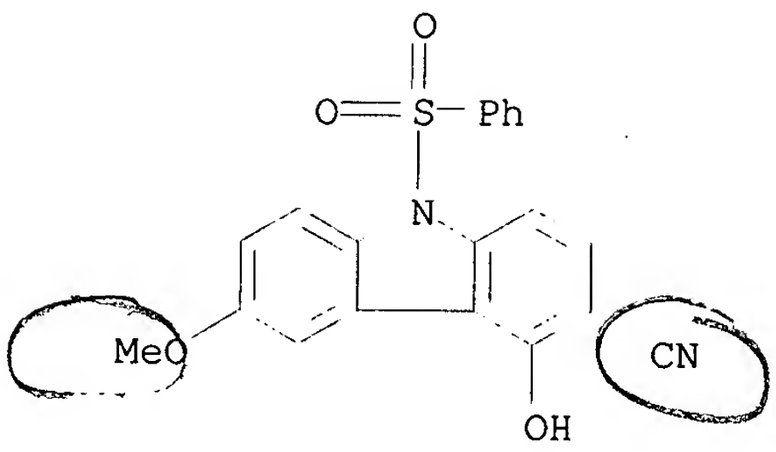


AB A convenient method for the synthesis of 4-hydroxy-3-substituted carbazoles (potential intermediate for pyridocarbazole alkaloids) from Et 5-methoxy-2-phenylsulfinylmethyl-1-phenylsulfonylindole-3-carboxylate (I) is reported. Thus, reaction of (I) with Michael acceptors RCH:CH2 (R = Ac, CN, CO2Et) with consecutive intramol. cyclization afforded hydroxycarbazoles (II) in 50-72% yield.

L3 ANSWER 5 OF 9 REGISTRY COPYRIGHT 2002 ACS
RN 147848-04-2 REGISTRY
CN 9H-Carbazole-3-carbonitrile, 4-hydroxy-6-methoxy-9-(phenylsulfonyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C20 H14 N2 O4 S
SR CA
LC STN Files: CA, CAPLUS

Searched by: Mary Hale 308-4258 CM-1 12D16



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 118:254685 One pot synthesis of 4-hydroxy-3-substituted carbazoles via sulfoxide stabilized carbanion. Mohanakrishnan, Arasambattu K.; Srinivasan, Panayencheri C. (Dep. Org. Chem., Univ. Madras, Madras, 600 025, India). Tetrahedron Lett., 34(8), 1343-6 (English) 1993. CODEN: TELEAY. ISSN: 0040-4039.

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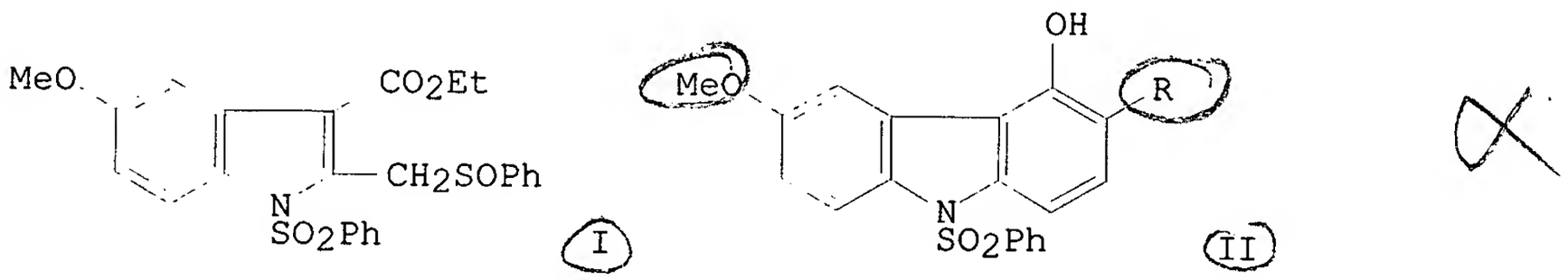
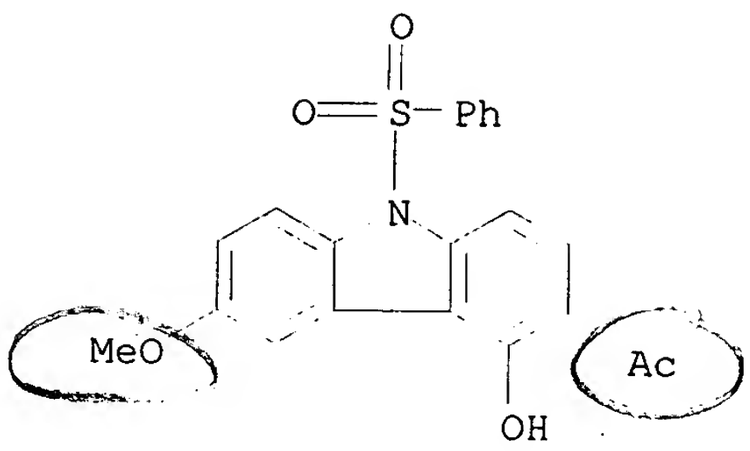


AB A convenient method for the synthesis of 4-hydroxy-3-substituted carbazoles (potential intermediate for pyridocarbazole alkaloids) from Et 5-methoxy-2-phenylsulfinylmethyl-1-phenylsulfonylindole-3-carboxylate (I) is reported. Thus, reaction of I with Michael acceptors RCH:CH2 (R = Ac, CN, CO2Et) with consecutive intramol. cyclization afforded hydroxycarbazoles II in 50-72% yield.

L3 ANSWER 6 OF 9 REGISTRY COPYRIGHT 2002 ACS
RN 147848-03-1 REGISTRY
CN 9H-Carbazol-4-ol, 3-acetyl-6-methoxy-9-(phenylsulfonyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C21 H17 N O5 S
SR CA
LC STN Files: CA, CAPLUS, CASREACT, CHEMINFORMRX

Searched by: Mary Hale 308-4258 CM-1 12D16



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 127:58462 4-Hydroxy-6-methoxy-9-phenylsulfonylcarbazol-3-yl methyl ketone. Govindasamy, L.; Velmurugan, D.; Ravikumar, K.; Mohanakrishnan, A. K. (Department of Crystallography and Biophysics, University of Madras, Madras, 600 025, India). Acta Crystallogr., Sect. C: Cryst. Struct. Commun., C53(6), 771-773 (English) 1997. CODEN: ACSCEE. ISSN: 0108-2701. Publisher: Munksgaard.

AB The asym. unit of the crystals of the title compd., C21H17NO5S, contains two crystallog. independent mols., each consisting of a carbazole moiety and a phenylsulfonyl group. The geometry around the S atoms is distorted from that of a regular tetrahedron. Crystallog. data are given.

REFERENCE 2: 118:254685 One pot synthesis of 4-hydroxy-3-substituted carbazoles via sulfoxide stabilized carbanion. Mohanakrishnan, Arasambattu K.; Srinivasan, Panayencheri C. (Dep. Org. Chem., Univ. Madras, Madras, 600 025, India). Tetrahedron Lett., 34(8), 1343-6 (English) 1993. CODEN: TELEAY. ISSN: 0040-4039.

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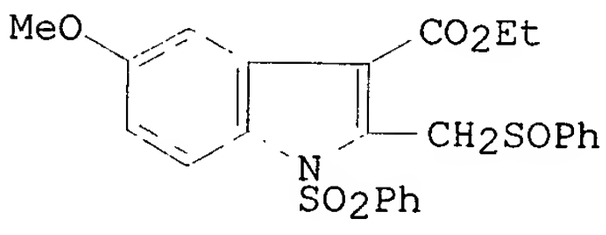

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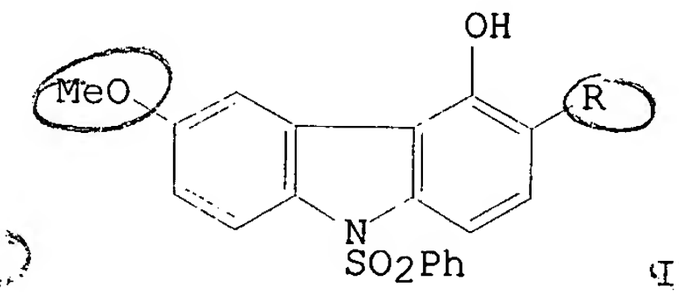


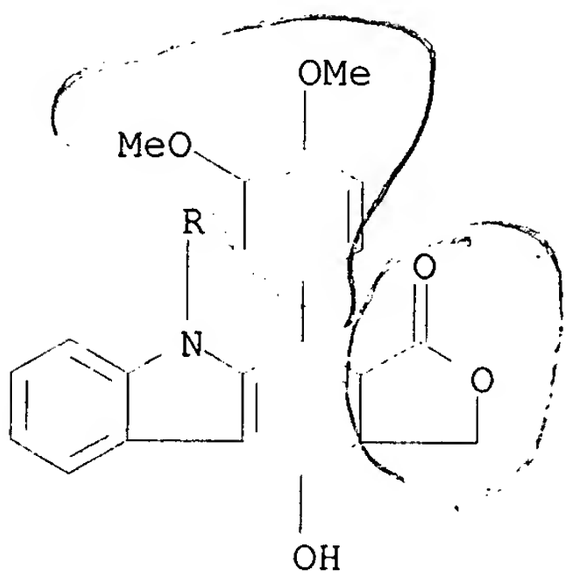
(II)

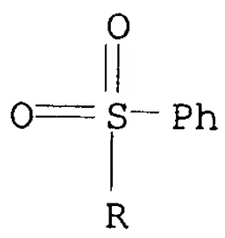
AB A convenient method for the synthesis of 4-hydroxy-3-substituted carbazoles (potential intermediate for pyridocarbazole alkaloids) from Et 5-methoxy-2-phenylsulfinylmethyl-1-phenylsulfonylindole-3-carboxylate (I) is reported. Thus, reaction of I with Michael acceptors RCH:CH2 (R = Ac, CN, CO2Et) with consecutive intramol. cyclization afforded hydroxycarbazoles II in 50-72% yield.

L3 ANSWER 7 OF 9 REGISTRY COPYRIGHT 2002 ACS
RN 123694-47-3 REGISTRY
CN 3H-Furo[3,4-b]carbazol-3-one, 4-(3,4-dimethoxyphenyl)-1,5-dihydro-10-hydroxy-5-(phenylsulfonyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C28 H21 N O7 S
SR CA

Searched by: Mary Hale 308-4258 CM-1 12D16

LC STN Files: CA, CAPLUS, USPATFULL





PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1967 TO DATE)
3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:317282 Synthesis and hypolipidemic activity of diesters of arylnaphthalene lignan and their heteroaromatic analogs. Kuroda, Tooru; Kondo, Kazuhiko; Iwasaki, Tameo; Ohtani, Akio; Takashima, Kohki (Res. Lab. Tanabe Seiyaku Co., Ltd., Osaka, 532, Japan). Chem. Pharm. Bull., 45(4), 678-684 (English) 1997. CODEN: CPBTAL. ISSN: 0009-2363. Publisher: Pharmaceutical Society of Japan.

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A series of arylnaphthalene lignan diesters (I) (R1 = Me, Et, CHMe2, C6H13, C10H21, CH2Ph, CH2CH2OMe, CH2CH2NEt2.HCl, CH2CH2-4-morpholine.HCl, 3-pyridyl.HCl, cyclohexylmethyl, CH2Ph; R2 = Me, Et, CHEt2, C6H13, cyclohexylmethyl, CH2Ph)) and their heteroarom. analogs (II) (R3 = Me, Et) and III (R4 = SO2Ph, H) were synthesized and evaluated for hypolipidemic activity. The diesters with modifications at C-3 showed excellent hypocholesterolemic and high-d. lipoprotein (HDL) cholesterol-elevating activities. Structure-activity anal. indicated that I (R1 = 2-pyridylmethyl.HCl, R2 = Me) has the optimum activity.

REFERENCE 2: 115:239708 Preparation of (3,4-dialkoxyphenyl)benzoheterocycle derivatives and hypolipemics containing them. Iwasaki, Tameo; Takashima, Koki (Tanabe Seiyaku Co., Ltd., Japan). Jpn. Kokai Tokkyo Koho JP 03072422 A2 19910327 Heisei, 7 pp. (Japanese). CODEN: JKXXAF. APPLICATION: JP 1990-121518 19900511. PRIORITY: JP 1989-122381 19890516.

GI For diagram(s), see printed CA Issue.

AB Hypolipemics contg. the title derivs. I [R1 = H, lower alkoxycarbonyl and R2 = alkoxycarbonyl or R1R2 = CH2OCO; R3, R4 = lower alkoxy; ring A =

Searched by: Mary Hale 308-4258 CM-1 12D16

(un)substituted S- or N-contg. heterocycle] or their pharmacol. acceptable salts are claimed for treatment of hyperlipemia and/or arteriosclerosis. 3-(Dimethoxymethyl)thiophene (10.0 g) in THF was treated with BuLi then 10.5 g of 3,4-(MeO)2C6H3CHO to give 18.0 g 2-(.alpha.-hydroxy-3,4-dimethoxybenzyl)-3-(dimethoxymethyl)thiophene, 1.0 g of which in toluene was treated with HBO3 under reflux to give 470 mg 2-(.alpha.-hydroxy-3,4-dimethoxybenzyl)-3-thiophenecarbaldehyde (II). A mixt. of II (1.5 g), Ac2O, N,N-dimethylaminopyridine, and Et3N in THF was stirred to give 1.7 g 2-(.alpha.-acetoxy-3,4-dimethoxybenzyl)-3-thiophenecarbaldehyde, 1.5 g of which was treated with MeOCOC.tplbond.CCO2Me in benzene contg. CF3CO2H under reflux for 1 h to give 350 mg 4-hydroxy-5,6-bis(methoxycarbonyl)-7-(3,4-dimethoxyphenyl)benzo[b]thiophene (III). III was administered as a diet to rats previously fed a diet contg. cholesterol and Na cholate, decreasing rate of serum cholesterol and increasing rate of high-d.-lipoprotein cholesterol were 51 and 88%, resp.

REFERENCE 3: 111:214386 Preparation of benzoheterocycles as hypolipemics. Iwasaki, Tameo; Takashima, Kohki (Tanabe Seiyaku Co., Ltd., Japan). Eur. Pat. Appl. EP 316939 A2 19890524, 20 pp. DESIGNATED STATES: R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE. (English). CODEN: EPXXDW. APPLICATION: EP 1988-119220 19881118. PRIORITY: JP 1987-294736 19871120.

GI For diagram(s), see printed CA Issue.

AB Title compds. (I) [R1 = H, alkoxycarbonyl; R2 = alkoxycarbonyl; R1R2 = CH2OC(O); R3, R4 = alkoxy; ring A = (substituted) S- or N-contg. heterocycle] are prepd. from heterocycles (II) (R5 = H, alkyl, acyl; R6 = CHO), II (R5R6 = CHOR7; R7 = alkyl), or I (R1 = CO2R8; R2 = CO2R9; R8,R9 = alkyl). Treatment of II (R3 = R4 = MeO; R5 = Ac; R6 = CHO; ring A = Q) with C2(CO2Me)2 in C6H6 in the presence of CF3CO2H gave I (R1 = R2 = CO2Me; R3 = R4 = OMe; ring A = Q), which at 100 mg/100 g diet was given to rats (fed with a diet contg. 2 wt.% cholesterol and 0.5 wt.% Na cholate) to show 51% decrease of the total serum cholesterol and 88% increase of high-d. lipoprotein cholesterol.

L3 ANSWER 8 OF 9 REGISTRY COPYRIGHT 2002 ACS

RN 123694-45-1 REGISTRY

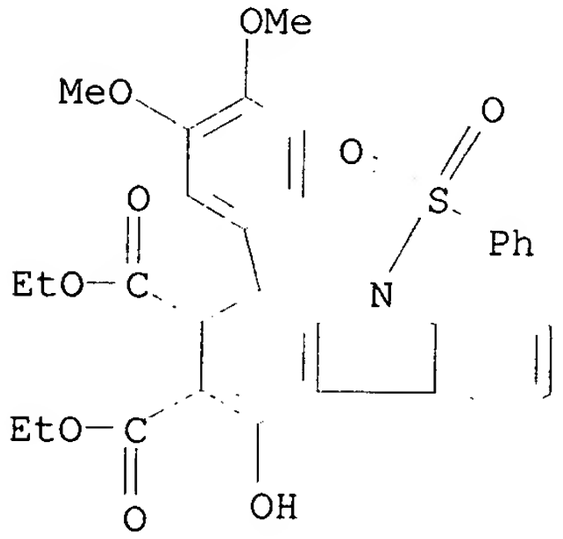
CN 9H-Carbazole-2,3-dicarboxylic acid, 1-(3,4-dimethoxyphenyl)-4-hydroxy-9-(phenylsulfonyl)-, diethyl ester (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C32 H29 N O9 S

SR CA

LC STN Files: CA, CAPLUS, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1967 TO DATE)

Searched by: Mary Hale 308-4258 CM-1 12D16

2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 115:239708 Preparation of (3,4-dialkoxyphenyl)benzoheterocycle derivatives and hypolipemics containing them. Iwasaki, Tameo; Takashima, Koki (Tanabe Seiyaku Co., Ltd., Japan). Jpn. Kokai Tokkyo Koho JP 03072422 A2 19910327 Heisei, 7 pp. (Japanese). CODEN: JKXXAF. APPLICATION: JP 1990-121518 19900511. PRIORITY: JP 1989-122381 19890516.

GI For diagram(s), see printed CA Issue.

AB Hypolipemics contg. the title derivs. (I) [R1 = H, lower alkoxycarbonyl and R2 = alkoxycarbonyl or R1R2 = CH2OCO; R3, R4 = lower alkoxy; ring A = (un)substituted S- or N-contg. heterocycle] or their pharmacol. acceptable salts are claimed for treatment of hyperlipemia and/or arteriosclerosis. 3-(Dimethoxymethyl)thiophene (10.0 g) in THF was treated with BuLi then 10.5 g of 3,4-(MeO)2C6H3CHO to give 18.0 g 2-(.alpha.-hydroxy-3,4-dimethoxybenzyl)-3-(dimethoxymethyl)thiophene, 1.0 g of which in toluene was treated with HBO3 under reflux to give 470 mg 2-(.alpha.-hydroxy-3,4-dimethoxybenzyl)-3-thiophenecarbaldehyde (II). A mixt. of II (1.5 g), Ac2O, N,N-dimethylaminopyridine, and Et3N in THF was stirred to give 1.7 g 2-(.alpha.-acetoxy-3,4-dimethoxybenzyl)-3-thiophenecarbaldehyde, 1.5 g of which was treated with MeOCOC.tplbond.CCO2Me in benzene contg. CF3CO2H under reflux for 1 h to give 350 mg 4-hydroxy-5,6-bis(methoxycarbonyl)-7-(3,4-dimethoxyphenyl)benzo[b]thiophene (III). III was administered as a diet to rats previously fed a diet contg. cholesterol and Na cholate, decreasing rate of serum cholesterol and increasing rate of high-d.-lipoprotein cholesterol were 51 and 88%, resp.

REFERENCE 2: 111:214386 Preparation of benzoheterocycles as hypolipemics. Iwasaki, Tameo; Takashima, Kohki (Tanabe Seiyaku Co., Ltd., Japan). Eur. Pat. Appl. EP 316939 A2 19890524, 20 pp. DESIGNATED STATES: R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE. (English). CODEN: EPXXDW. APPLICATION: EP 1988-119220 19881118. PRIORITY: JP 1987-294736 19871120.

GI For diagram(s), see printed CA Issue.

AB Title compds. (I) [R1 = H, alkoxycarbonyl; R2 = alkoxycarbonyl; R1R2 = CH2OC(O); R3, R4 = alkoxy; ring A = (substituted) S- or N-contg. heterocycle] are prepd. from heterocycles (II) (R5 = H, alkyl, acyl; R6 = CHO), II (R5R6 = CHOR7; R7 = alkyl), or I (R1 = CO2R8; R2 = CO2R9; R8,R9 = alkyl). Treatment of (II) (R3 = R4 = MeO; R5 = Ac; R6 = CHO; ring A = Q) with C2(CO2Me)2 in C6H6 in the presence of CF3CO2H gave (I) (R1 = R2 = CO2Me; R3 = R4 = OMe; ring A = Q), which at 100 mg/100 g diet was given to rats (fed with a diet contg. 2 wt.% cholesterol and 0.5 wt.% Na cholate) to show 51% decrease of the total serum cholesterol and 88% increase of high-d. lipoprotein cholesterol.

L3 ANSWER 9 OF 9 REGISTRY COPYRIGHT 2002 ACS
RN 123694-44-0 REGISTRY
CN 9H-Carbazole-2,3-dicarboxylic acid, 1-(3,4-dimethoxyphenyl)-4-hydroxy-9-(phenylsulfonyl)-, dimethyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C30 H25 N O9 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

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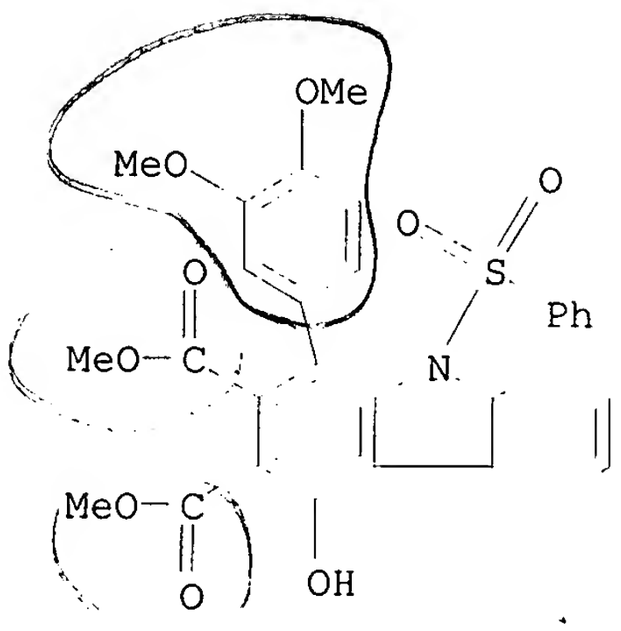

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1967 TO DATE)

3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:317282 Synthesis and hypolipidemic activity of diesters of arylnaphthalene lignan and their heteroaromatic analogs. Kuroda, Tooru; Kondo, Kazuhiko; Iwasaki, Tameo; Ohtani, Akio; Takashima, Kohki (Res. Lab. Tanabe Seiyaku Co., Ltd., Osaka, 532, Japan). Chem. Pharm. Bull., 45(4), 678-684 (English) 1997. CODEN: CPBTAL. ISSN: 0009-2363. Publisher: Pharmaceutical Society of Japan.

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A series of arylnaphthalene lignan diesters (I) (R1 = Me, Et, CHMe2, C6H13, C10H21, CH2Ph, CH2CH2OMe, CH2CH2NEt2.HCl, CH2CH2-4-morpholine.HCl, 3-pyridyl.HCl, cyclohexylmethyl, CH2Ph; R2 = Me, Et, CHEt2, C6H13, cyclohexylmethyl, CH2Ph)) and their heteroarom. analogs II (R3 = Me, Et) and III (R4 = SO2Ph, H) were synthesized and evaluated for hypolipidemic activity. The diesters with modifications at C-3 showed excellent hypocholesterolemic and high-d. lipoprotein (HDL) cholesterol-elevating activities. Structure-activity anal. indicated that I (R1 = 2-pyridylmethyl.HCl, R2 = Me) has the optimum activity.

REFERENCE 2: 115:239708 Preparation of (3,4-dialkoxyphenyl)benzoheterocycle derivatives and hypolipemics containing them. Iwasaki, Tameo; Takashima, Koki (Tanabe Seiyaku Co., Ltd., Japan). Jpn. Kokai Tokkyo Koho JP 03072422 A2 19910327 Heisei, 7 pp. (Japanese). CODEN: JKXXAF. APPLICATION: JP 1990-121518 19900511. PRIORITY: JP 1989-122381 19890516.

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AB Hypolipemics contg. the title derivs. I [R1 = H, lower alkoxycarbonyl and R2 = alkoxycarbonyl or R1R2 = CH2OCO; R3, R4 = lower alkoxy; ring A = (un)substituted S- or N-contg. heterocycle] or their pharmacol. acceptable salts are claimed for treatment of hyperlipemia and/or arteriosclerosis. 3-(Dimethoxymethyl)thiophene (10.0 g) in THF was treated with BuLi then 10.5 g of 3,4-(MeO)2C6H3CHO to give 18.0 g 2-(.alpha.-hydroxy-3,4-dimethoxybenzyl)-3-(dimethoxymethyl)thiophene, 1.0 g of which in toluene was treated with HBO3 under reflux to give 470 mg 2-(.alpha.-hydroxy-3,4-dimethoxybenzyl)-3-thiophenecarbaldehyde (II). A mixt. of II (1.5 g), Ac2O, N,N-dimethylaminopyridine, and Et3N in THF was stirred to give 1.7 g 2-(.alpha.-acetoxy-3,4-dimethoxybenzyl)-3-thiophenecarbaldehyde, 1.5 g of

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which was treated with MeOCOC.tplbond.CCO2Me in benzene contg. CF3CO2H under reflux for 1 h to give 350 mg 4-hydroxy-5,6-bis(methoxycarbonyl)-7-(3,4-dimethoxyphenyl)benzo[b]thiophene (III). III was administered as a diet to rats previously fed a diet contg. cholesterol and Na cholate, decreasing rate of serum cholesterol and increasing rate of high-d.-lipoprotein cholesterol were 51 and 88%, resp.

REFERENCE 3: 111:214386 Preparation of benzoheterocycles as hypolipemics. Iwasaki, Tameo; Takashima, Kohki (Tanabe Seiyaku Co., Ltd., Japan). Eur. Pat. Appl. EP 316939 A2 19890524, 20 pp. DESIGNATED STATES: R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE. (English). CODEN: EPXXDW. APPLICATION: EP 1988-119220 19881118. PRIORITY: JP 1987-294736 19871120.

GI For diagram(s), see printed CA Issue.

AB Title compds. (I) [R1 = H, alkoxycarbonyl; R2 = alkoxycarbonyl; R1R2 = CH2OC(O); R3, R4 = alkoxy; ring A = (substituted) S- or N-contg. heterocycle] are prepd. from heterocycles (II) (R5 = H, alkyl, acyl; R6 = CHO), (II) (R5R6 = CHOR7; R7 = alkyl), or I (R1 = CO2R8; R2 = CO2R9; R8,R9 = alkyl). Treatment of (II) (R3 = R4 = MeO; R5 = Ac; R6 = CHO; ring A = Q) with C2(CO2Me)2 in C6H6 in the presence of CF3CO2H gave (I) (R1 = R2 = CO2Me; R3 = R4 = OMe; ring A = Q), which at 100 mg/100 g diet was given to rats (fed with a diet contg. 2 wt.% cholesterol and 0.5 wt.% Na cholate) to show 51% decrease of the total serum cholesterol and 88% increase of high-d. lipoprotein cholesterol.

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	174.88	175.09

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-5.31	-5.31

FILE 'CAOLD' ENTERED AT 13:34:01 ON 20 MAY 2002

FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

L4 0 L3

=> fil casrea;s l3

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.38	175.47

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL

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	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-5.31

FILE 'CASREACT' ENTERED AT 13:34:07 ON 20 MAY 2002
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FILE CONTENT:1974 - 19 May 2002 VOL 136 ISS 20

Some records from 1974 to 1991 are derived from the ZIC/VINITI data file and provided by InfoChem.

This file contains CAS Registry Numbers for easy and accurate substance identification.

Crossover limits have been increased. See HELP RNCROSSOVER for details.

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

L5 2 L3

=> s l1 ful
FULL SEARCH INITIATED 13:34:13
SCREENING COMPLETE - 353 REACTIONS TO VERIFY FROM 39 DOCUMENTS

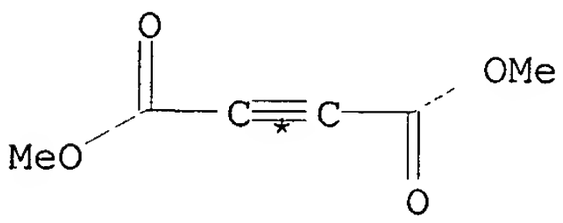
100.0% DONE 353 VERIFIED 11 HIT RXNS 2 DOCS
SEARCH TIME: 00.00.01

L6 2 SEA SSS FUL L1 (11 REACTIONS)

=> d fhit cbib abs

L6 ANSWER 1 OF 2 CASREACT COPYRIGHT 2002 ACS

RX(4) OF 52 ...K + L ===> **M**



K

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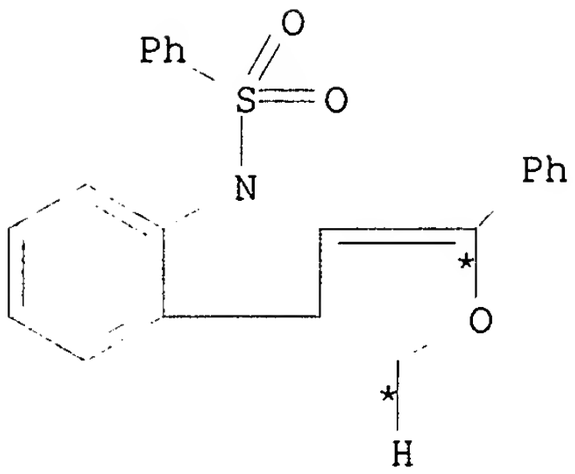

L

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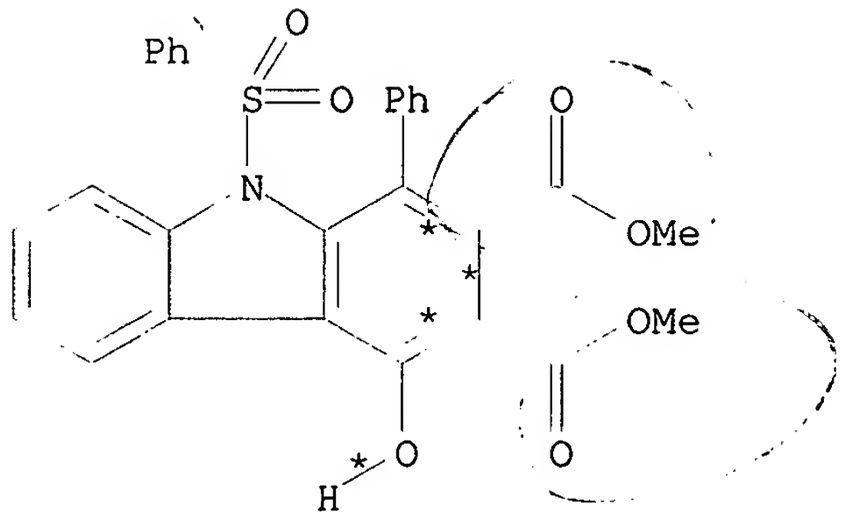
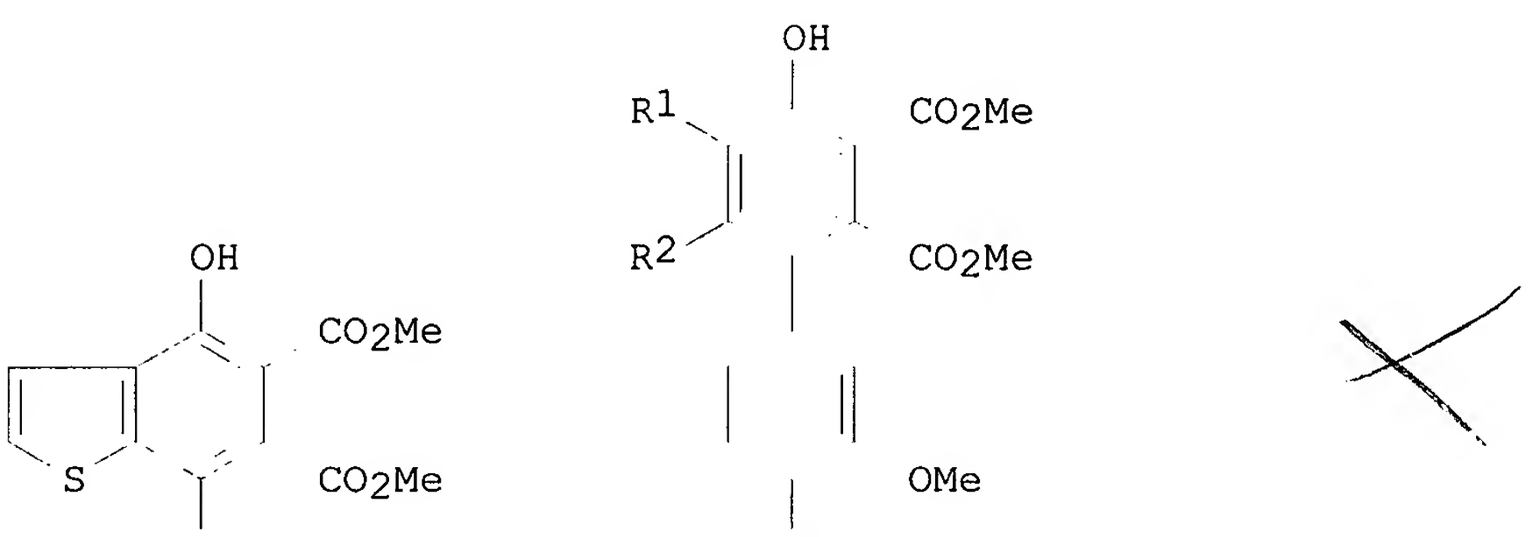


M
YIELD 72%

RX(4) RCT K 762-42-5, L 143774-52-1
PRO M **159626-31-0**
CAT 104-15-4 TsOH
SOL 71-43-2 Benzene
NTE KEY STEP

122:31186 An Efficient Synthesis of Heterocyclic Analogs of 1-Arylnaphthalene Lignans. Kuroda, Tooru; Takahashi, Masami; Ogiku, Tsuyoshi; Ohmizu, Hiroshi; Nishitani, Takashi; Kondo, Kazuhiko; Iwasaki, Tameo (Department of Synthetic Chemistry, Tanabe Seiyaku Co. Ltd., Yodogawa, 532, Japan). J. Org. Chem., 59(24), 7353-7 (English) 1994. CODEN: JOCEAH. ISSN: 0022-3263.

GI



R I OMe II

AB The heterocyclic analogs I [R = 3,4-(MeO)2C6H3, 4-MeC6H4, 3,4-Cl2C6H3, 3,4-methylenedioxyphenyl, Ph, 3-thienyl] and II [R1R2 = SCH:CH, CH:CHCH:N] of 1-arylnaphthalene lignans were synthesized by Diels-Alder reactions of heterocyclic .alpha.-acetoxybenzyl aldehydes with di-Me acetylenedicarboxylate. A pathway for formation of I and II through the intermediacy of heteroarom. isobenzofurans derived from the acetoxy aldehydes is discussed.

=> del his y

=> fil hcaplus,medl,biosis,embase,jicst;s scalone, m?/au;s zeibig, t?/au
COST IN U.S. DOLLARS SINCE FILE TOTAL

Searched by: Mary Hale 308-4258 CM-1 12D16

	ENTRY	SESSION
FULL ESTIMATED COST	120.86	296.33

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-0.59	-5.90

FILE 'HCAPLUS' ENTERED AT 13:35:03 ON 20 MAY 2002
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FILE 'MEDLINE' ENTERED AT 13:35:03 ON 20 MAY 2002

FILE 'BIOSIS' ENTERED AT 13:35:03 ON 20 MAY 2002

FILE 'EMBASE' ENTERED AT 13:35:03 ON 20 MAY 2002

FILE 'JICST-EPLUS' ENTERED AT 13:35:03 ON 20 MAY 2002

L1	42	FILE HCAPLUS
L2	4	FILE MEDLINE
L3	10	FILE BIOSIS
L4	12	FILE EMBASE
L5	0	FILE JICST-EPLUS

TOTAL FOR ALL FILES

L6	68	SCALONE, M?/AU

L7	2	FILE HCAPLUS
L8	0	FILE MEDLINE
L9	2	FILE BIOSIS
L10	1	FILE EMBASE
L11	0	FILE JICST-EPLUS

TOTAL FOR ALL FILES

L12	5	ZEIBIG, T?/AU

=> s l6 and l12

L13	0	FILE HCAPLUS
L14	0	FILE MEDLINE
L15	1	FILE BIOSIS
L16	0	FILE EMBASE
L17	0	FILE JICST-EPLUS

TOTAL FOR ALL FILES

L18	1	L6 AND L12

=> d cbib abs

L18 ANSWER 1 OF 1 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.
2001:549828 Document No.: PREV200100549828. Methods for the preparation of 4-hydroxybenzothiophene. Junghans, Bernd (1); **Scalone, Michelangelo; Zeibig, Thomas Albert.** (1) Edingen-Neckarhausen Germany. ASSIGNEE: Hoffmann-La Roche Inc.. Patent Info.: US 6291685 September 18, 2001. Official Gazette of the United States Patent and Trademark Office Patents, (Sep. 18, 2001) Vol. 1250, No.

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3, pp. No Pagination. e-file. ISSN: 0098-1133. Language: English.

AB The present invention is concerned with a novel process for the preparation of the hydroxybenzothiophene of formula I ##STR1## comprising cyclocarbonylation of a compound of formula II ##STR2## wherein Y is as defined in the specification, followed by saponification. The compound of Formula I is a building block of pharmaceutically active substances, e.g. 5-[4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-7-benzothiophenylmethyl]-2,4-thiazolidinedione and the corresponding sodium salt which are from agents useful in the treatment of diabetes.

```
=> fil reg;e "9-benzenesulfonyl-9h-carbazol-4-ol"/cn 5
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                          ENTRY     SESSION
FULL ESTIMATED COST                                        6.97      303.30

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)              SINCE FILE      TOTAL
                                                          ENTRY     SESSION
CA SUBSCRIBER PRICE                                        0.00       -5.90

FILE 'REGISTRY' ENTERED AT 13:35:42 ON 20 MAY 2002
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STRUCTURE FILE UPDATES:   18 MAY 2002  HIGHEST RN 418253-06-2
DICTIONARY FILE UPDATES:  18 MAY 2002  HIGHEST RN 418253-06-2

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Calculated physical property data is now available.  See HELP PROPERTIES
for more information.  See STNote 27, Searching Properties in the CAS
Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf


E1             1      9-BENZENESULFONYL-2-(1-HYDROXYETHYL)CARBAZOLE/CN
E2             1      9-BENZENESULFONYL-3-BROMOMETHYLCARBAZOLE/CN
E3             0 --> 9-BENZENESULFONYL-9H-CARBAZOL-4-OL/CN
E4             1      9-BENZHYDRYL-10-PHENYLANTHRACENE/CN
E5             1      9-BENZHYDRYLADENINE/CN

=> s benzenesulfonyl(l)carbazol-4-ol
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         23740 CARBAZOL
      11193768 4
       2178832 OL
           863 OLS
       2178832 OL
                 (OL OR OLS)
           167 CARBAZOL-4-OL
                 (CARBAZOL(W)4(W)OL)
L19          0 BENZENESULFONYL(L)CARBAZOL-4-OL

=> del his y

=> fil reg

Searched by: Mary Hale 308-4258 CM-1 12D16
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